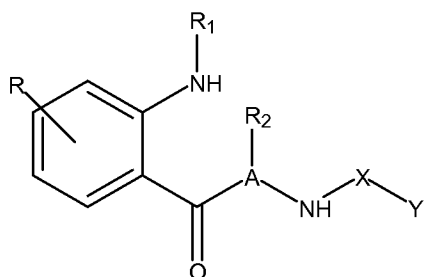


Amendments to the Claims

This listing of claims will replace all prior versions, and listings, of claims in the application:

Listing of Claims:

1. (Currently amended) A compound having the formula (I):



(I)

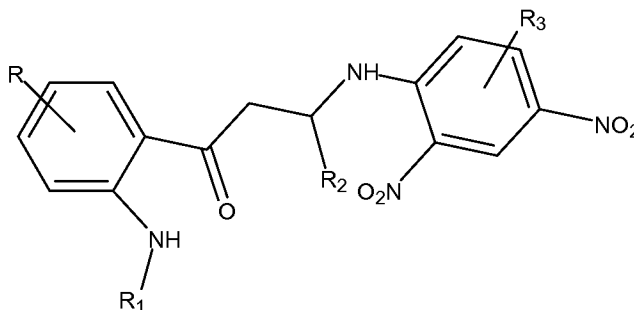
or a stereoisomer or a pharmaceutically acceptable salt thereof, wherein:

A is C₁₋₆ alkylene; R, R₁ and R₂ are independently hydrogen, halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano, cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, acyloxy, azido, alkoxy, carboxy, carbonylamido, S-alkyl or alkylthiol, X is >C₁₋₆ alkylene, >C=O or >C=S or a single bond; and Y is halo, haloalkyl, [[aryl,]] a heterocyclic group, a heteroaryl group, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano, cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, azido, carboxy, carbonylamido, or styryl, wherein

where Y is a ring it may be ring-substituted by up to four substituents independently selected from among hydrogen, halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano, cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, acyloxy, azido, alkoxy, S-alkyl, alkylthiol, or -COQ, where Q is hydroxy, C₁₋₆ alkoxy, amino, mono- C₁₋₆ alkylamino, di- C₁₋₆ alkylamino, hydroxylamino, C₁₋₄ alkoxyamino or aryl-C₁₋₄-alkoxyamino; but excluding (a) the compounds where simultaneously X is >C=O, Y is methyl, A is CH₂CH₂, R is 5-methoxy, R₁ is H or formyl and R₂ is H, (b) the compounds where the moiety -A(R₂)-NH-X-Y is -CH₂CH(COQ)-NH₂ or -CH(haloalkyl)-CH(COQ)-NH₂, and (c) the compounds where simultaneously X is a single bond, Y is arylalkyl, A is CH₂CH₂CH₂, both R₁ and R₂ are H and R is 4-halo where the moiety -CO-A(R₂)-NH-X-Y is deemed to be in the 1-position of the depicted benzene ring.

2. (Currently amended) A compound according to claim [[1]] 28, having formula

(II):



(II)

wherein R is hydrogen, methyl or methoxy, R₁ is hydrogen or formyl, R₂ is hydrogen or carboxyl, and R₃ is hydrogen, halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano, cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, acyloxy, azido, alkoxy, carboxy, carbonylamido, S-alkyl or alkylthiol, or a stereoisomer pharmaceutically acceptable salt thereof.

3. (Previously presented) A compound according to claim 1, where in formula (I), Y is 2-furyl, 2-dihydrofuryl, or 2-tetrahydrofuryl, any of which may be substituted by 1-2 substituents selected from C₁₋₄ alkyl, C₁₋₄ alkoxy, OH, nitro, or Y is styryl which is ring-substituted by up to two substituents independently selected from among halogen, C₁₋₄ alkyl, C₁₋₄ alkoxy, OH, nitro, aryl, aryl-C₁₋₄ alkyl, or aryl-C₁₋₄ alkoxy, or a stereoisomer or pharmaceutically acceptable salt thereof.

4. (Currently amended) A compound according to claim 1, or a stereoisomer or pharmaceutically acceptable salt thereof, where in formula (I), R₂ is hydrogen and at least one of the following conditions applies, namely:

R is 5-methoxy; or

A is CH₂CH₂ or

R₁ is hydrogen; or

~~— X is a single bond and Y is a 2,4-dinitrophenyl group.~~

5. (Previously presented) A compound according to claim 1, or a stereoisomer or pharmaceutically acceptable salt thereof, where in formula (I), X and Y are selected in combination as follows:

X is -CO- and Y is 2-furyl; or

X is -CO- and Y is 2-tetrahydrofuryl; or

X is -CH₂- and Y is 2-tetrahydrofuryl; or

X is -CO- and Y is 2-acetoxyphenyl; or

X is -CO- and Y is 3,4-dihydroxystyryl or 3,4-dihydroxycinnamoyloxy.

6. (Previously presented) A compound according to claim 5, wherein at least one of the following conditions applies, namely:

R is 5-methoxy; or

A is CH₂CH₂ or

A-R₂ is CH₂CHCOOH; or

R₁ is hydrogen.

7. (Currently amended) A compound according to claim [[1]] 28, which is 3-(2-aminobenzoyl)-2-(2,4-dinitroanilino)propanoic acid, ~~and stereoisomers and~~ or a stereoisomer or pharmaceutically acceptable [[salts]] salt thereof.

8. (Currently amended) A compound according to claim [[1]] 28, which is 2-(2-aminobenzoyl)-N-(2,4-dinitrophenyl)ethylamine, or a pharmaceutically acceptable salt thereof.

9. (Original) A pharmaceutical formulation containing a therapeutically effective amount of at least one compound as defined in claim 1 in association with at least one

pharmaceutically acceptable ingredient selected from diluents, preservatives, solubilizers, emulsifiers, adjuvants, excipients and carriers.

10. (Original) A pharmaceutical formulation according to claim 9, which is further characterized by at least one of the following features:

- (i) it is adapted for oral, rectal, parenteral, transbuccal, intrapulmonary or transdermal administration;
- (ii) it is in unit dosage form, each unit dosage comprising an amount of said at least one compound which lies within the range of 0.0025-1000 mg;
- (iii) it is a controlled release formulation, wherein said at least one compound is released at a predetermined controlled rate;
- (iv) it comprises additionally at least one known therapeutically active ingredient selected from neuroleptics, thymoleptics, anxiolitics, tranquilizers, analgesics, and anti-parkinson's drugs.

11. (Previously presented) A method of treating a subject suffering from a physiological condition selected from the group consisting of stroke, ischemia, CNS trauma, hypoglycemia and surgery, CNS disorders, overstimulation of the excitatory amino acids, psychiatric disorders, epilepsy or other convulsive disorder, anxiety, psychosis, senile dementia, multi-infarct dementia, chronic pain (analgesia), glaucoma, CMV retinitis, urinary incontinence, impotence, cardiovascular disorders, blood

coagulation, neuropathy, anti-inflammatory, chronobiological-related disorders, seasonal-related disorders, endocrine indications, precocious puberty, premenstrual syndrome, hyperprolactinemia, growth hormone deficiency, neoplastic disease, benign or tumor prostate growth, immune system disorders, conditions associated with senescence, ophthalmological diseases, cluster headache, migraine, or weight gain disorders, which comprises administering a therapeutically effective amount of a compound of formula I or a stereoisomer or a pharmaceutically acceptable salt thereof as defined in claim 1.

12. (Previously presented) The method of claim 11, wherein said compound or stereoisomer or salt is administered in association with at least one pharmaceutically acceptable ingredient selected from diluents, preservatives, solubilizers, emulsifiers, adjuvants, excipients and carriers.

13. (Previously presented) The method of claim 12, wherein said pharmaceutical formulation is further characterized by at least one of the following features:

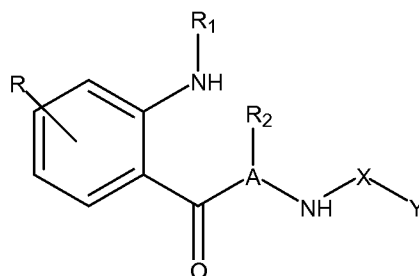
- (i) it is adapted for oral, rectal, parenteral, transbuccal, intrapulmonary or transdermal administration;
- (ii) it is in unit dosage form, each unit dosage comprising an amount of said at least one compound which lies within the range of 0.0025-1000 mg;

(iii) it is a controlled release formulation, wherein said at least one compound is released at a predetermined controlled rate;

(iv) it comprises additionally at least one known therapeutically active ingredient selected from neuroleptics, thymoleptics, anxiolitics, tranquilizers, analgesics, and anti-Parkinson's drugs.

14. (Previously presented) A method for regulating fertility, puberty or pelage color in animal breeding, which comprises administering to a breeding animal an effective amount of a compound of formula I or a stereoisomer or pharmaceutically acceptable salt as defined in claim 1.

15. (Previously presented) A compound having the formula (I):



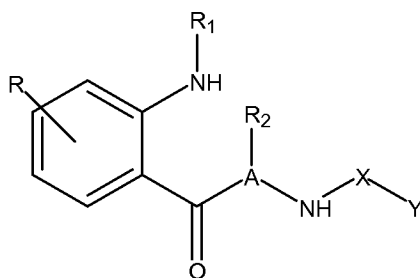
(I)

or a stereoisomer or a pharmaceutically acceptable salt thereof, wherein:

A is C₁₋₆ alkylene; R, R₁ and R₂ are independently hydrogen, halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano, cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, acyloxy, azido, alkoxy, carboxy, carbonylamido, S-alkyl or alkylthiol, X is >C₁₋₆ alkylene, >C=O or >C=S; and Y is hydrogen, halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano, cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, azido, carboxy, carbonylamido, or styryl, wherein where Y is a ring it may be ring-substituted by up to four substituents independently selected from among hydrogen, halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, alkyl,

alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano, cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, acyloxy, azido, alkoxy, S-alkyl, alkylthiol or -COQ, where Q is hydroxy, C₁₋₆ alkoxy, amino, mono- C₁₋₆ alkylamino, di- C₁₋₆ alkylamino, hydroxylamino, C₁₋₄ alkoxyamino or aryl-C₁₋₄-alkoxyamino; but excluding (a) the compounds where simultaneously X is >C=O, Y is methyl, A is CH₂CH₂, R is 5-methoxy, R₁ is H or formyl and R₂ is H and (b) the compounds where the moiety -A(R₂)-NH-X-Y is -CH₂CH(COQ)-NH₂ or -CH(haloalkyl)-CH(COQ)-NH₂.

16. (Previously presented) A compound having the formula (I):



(I)

or a stereoisomer or a pharmaceutically acceptable salt thereof, wherein:

A is C₁₋₆ alkylene; R, R₁ and R₂ are independently halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano, cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, acyloxy, azido, alkoxy, carboxy, carbonylamido, S-alkyl or alkylthiol, and each of R₁ and R₂ independently also can be hydrogen, X is >C₁₋₆ alkylene, >C=O, >C=S or a single bond; and Y is hydrogen, halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano, cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, acyloxy, azido, alkoxy, carboxy, carbonylamido, or styryl, wherein where Y is a ring it may be ring-

substituted by up to four substituents independently selected from among hydrogen, halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano, cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, acyloxy, azido, alkoxy, S-alkyl, alkylthiol or -COQ, where Q is hydroxy, C₁₋₆ alkoxy, amino, mono- C₁₋₆ alkylamino, di- C₁₋₆ alkylamino, hydroxylamino, C₁₋₄ alkoxyamino or aryl-C₁₋₄-alkoxyamino; but excluding (a) the compounds where simultaneously X is >C=O, Y is methyl, A is CH₂CH₂, R is 5-methoxy, R₁ is H or formyl and R₂ is H, (b) the compounds where the moiety -A(R₂)-NH-X-Y is -CH₂CH(COQ)-NH₂ or -CH(haloalkyl)-CH(COQ)-NH₂, and (c) the compounds where simultaneously X is a single bond, Y is arylalkyl, A is CH₂CH₂CH₂, both R₁ and R₂ are H and R is 4-halo where the moiety -CO-A(R₂)-NH-X-Y is deemed to be in the 1-position of the depicted benzene ring.

17. (Previously presented) A compound according to claim 15 or 16, where in formula (I), Y is 2-furyl, 2-dihydrofuryl or 2-tetrahydrofuryl, any of which may be substituted by 1-2 substituents selected from C₁₋₄ alkyl, C₁₋₄ alkoxy, OH, nitro, or Y is hydrogen or styryl which is ring-substituted by up to two substituents independently selected from among halogen, C₁₋₄ alkyl, C₁₋₄ alkoxy, OH, nitro, aryl, aryl-C₁₋₄ alkyl, or aryl-C₁₋₄ alkoxy, or a stereoisomer or pharmaceutically acceptable salt thereof.

18. (Previously presented) A compound according to claim 1, or a stereoisomer or pharmaceutically acceptable salt thereof, where in formula (I) A-R₂ is CH₂CHCOOH.

19. (Previously presented) A pharmaceutical formulation containing a therapeutically effective amount of at least one compound as defined in claim 15 or 16 in association with at least one pharmaceutically acceptable ingredient selected from diluents, preservatives, solubilizers, emulsifiers, adjuvants, excipients and carriers.

20. (Previously presented) A pharmaceutical formulation according to claim 19, which is further characterized by at least one of the following features:

- (i) it is adapted for oral, rectal, parenteral, transbuccal, intrapulmonary or transdermal administration;
- (ii) it is in unit dosage form, each unit dosage comprising an amount of said at least one compound which lies within the range of 0.0025-1000 mg;
- (iii) it is a controlled release formulation, wherein said at least one compound is released at a predetermined controlled rate;
- (iv) it comprises additionally at least one known therapeutically active ingredient selected from neuroleptics, thymoleptics, anxiolytics, tranquilizers, analgesics, and antiparkinson's drugs.

21. (Previously presented) A method of treating a subject suffering from a physiological condition selected from the group consisting of stroke, ischemia, CNS trauma, hypoglycemia and surgery, CNS disorders, overstimulation of the excitatory amino acids, psychiatric disorders, epilepsy or other convulsive disorder, anxiety, psychosis, senile dementia, multi-infarct dementia, chronic pain (analgesia), glaucoma, CMV retinitis, urinary incontinence, impotence, cardiovascular disorders, blood coagulation, neuropathy, anti-inflammatory, chronobiological-related disorders, seasonal-related disorders, endocrine indications, precocious puberty, premenstrual syndrome, hyperprolactinemia, growth hormone deficiency, neoplastic disease, benign or tumor prostate growth, immune system disorders, conditions associated with senescence, ophthalmological diseases, cluster headache, migraine, or weight gain disorders, which comprises administering a therapeutically effective amount of a compound of formula I or a stereoisomer or a pharmaceutically acceptable salt thereof as defined in claim 15 or 16.

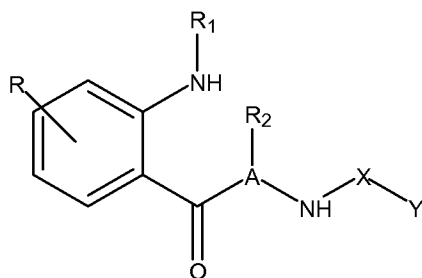
22. (Previously presented) The method of claim 21, wherein said compound or stereoisomer or salt is administered in association with at least one pharmaceutically acceptable ingredient selected from diluents, preservatives, solubilizers, emulsifiers, adjuvants, excipients and carriers.

23. (Previously presented) The method of claim 22, wherein said pharmaceutical formulation is further characterized by at least one of the following features:

- (i) it is adapted for oral, rectal, parenteral, transbuccal, intrapulmonary or transdermal administration;
- (ii) it is in unit dosage form, each unit dosage comprising an amount of said at least one compound which lies within the range of 0.0025-1000 mg;
- (iii) it is a controlled release formulation, wherein said at least one compound is released at a predetermined controlled rate;
- (iv) it comprises additionally at least one known therapeutically active ingredient selected from neuroleptics, thymoleptics, anxiolitics, tranquilizers, analgesics, and anti-Parkinson's drugs.

24. (Previously presented) A method for regulating fertility, puberty or pelage color in animal breeding, which comprises administering to a breeding animal an effective amount of a compound of formula I or a stereoisomer or pharmaceutically acceptable salt as defined in claim 15 or 16.

25. (Previously presented) A compound having the formula (I):



(I)

or a stereoisomer or a pharmaceutically acceptable salt thereof, wherein:

A is C₁₋₆ alkylene;

R is halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano, cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, acyloxy, azido, alkoxy, carboxy, carbonylamido, S-alkyl or alkylthiol;

R₁ is hydrogen, halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano, cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, acyloxy, azido, alkoxy, carboxy, carbonylamido, S-alkyl or alkylthiol;

R₂ is hydrogen, halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano,

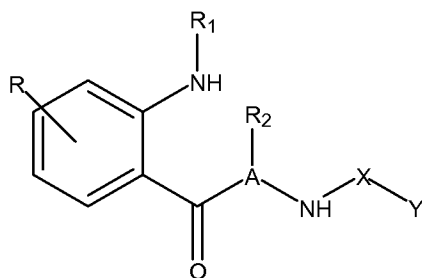
cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, acyloxy, azido, alkoxy, carbonylamido, S-alkyl or alkylthiol;

X is $>C_{1-6}$ alkylene, $>C=O$ or $>C=S$ or a single bond; and

Y is halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano, cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, acyloxy, azido, alkoxy, carboxy, carbonylamido, or styryl;

wherein where Y is a ring it can be ring-substituted by up to four substituents independently selected from among hydrogen, halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano, cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, acyloxy, azido, alkoxy, S-alkyl, alkylthiol, or $-COQ$, where Q is hydroxy, C_{1-6} alkoxy, amino, mono- C_{1-6} alkylamino, di- C_{1-6} alkylamino, hydroxylamino, C_{1-4} alkoxyamino or aryl- C_{1-4} -alkoxyamino; but excluding (a) the compounds where simultaneously X is $>C=O$, Y is methyl, A is CH_2CH_2 , R is 5-methoxy, R_1 is H or formyl and R_2 is H, (b) the compounds where the moiety $-A(R_2)-NH-X-Y$ is $-CH_2CH(COQ)-NH_2$ or $-CH(haloalkyl)-CH(COQ)-NH_2$, and (c) the compounds where simultaneously X is a single bond, Y is arylalkyl, A is $CH_2CH_2CH_2$, both R_1 and R_2 are H and R is 4-halo where the moiety $-CO-A(R_2)-NH-X-Y$ is deemed to be in the 1-position of the depicted benzene ring.

26. (Currently amended) A compound having the formula (I):



(I)

or a stereoisomer or a pharmaceutically acceptable salt thereof, wherein:

A is C₁₋₆ alkylene;

R and R₁, independently, are hydrogen, halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano, cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, acyloxy, azido, alkoxy, carboxy, carbonylamido, S-alkyl or alkylthiol;

R₂ is hydrogen, halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano, cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, acyloxy, azido, alkoxy, carbonylamido, S-alkyl or alkylthiol;

X is >C₁₋₆ alkylene, >C=O or >C=S or a single bond; and

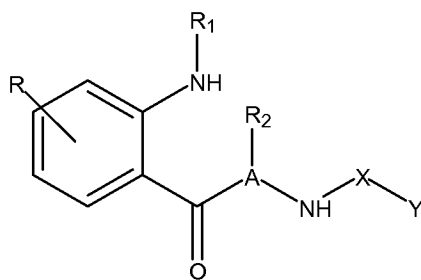
Y is halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano, cyanamido,

guanidine, amidino, acylamido, hydroxy, thiol, acyloxy, azido, alkoxy, carboxy, carbonylamido, or styryl;

wherein where Y is a ring it can be ring-substituted by up to four substituents independently selected from among hydrogen, halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano, cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, acyloxy, azido, alkoxy, S-alkyl, alkylthiol, or -COQ, where Q is hydroxy, C₁₋₆ alkoxy, amino, mono- C₁₋₆ alkylamino, di- C₁₋₆ alkylamino, hydroxylamino, C₁₋₄ alkoxyamino or aryl-C₁₋₄-alkoxyamino; but excluding (a) the compounds where simultaneously X is >C=O, Y is methyl, A is CH₂CH₂, R is 5-methoxy, R₁ is H or formyl and R₂ is H, (b) the compounds where the moiety -A(R₂)-NH-X-Y is -CH₂CH(COQ)-NH₂ or -CH(haloalkyl)-CH(COQ)-NH₂, and (c) the compounds where simultaneously X is a single bond, Y is arylalkyl, A is CH₂CH₂CH₂, both R₁ and R₂ are H and R is 4-halo where the moiety -CO-A(R₂)-NH-X-Y is deemed to be in the 1-position of the depicted benzene ring.

27. (Currently amended) A pharmaceutical formulation containing a therapeutically effective amount of at least one compound as defined in claim 25 [[or 26]] in association with at least one pharmaceutically acceptable ingredient selected from diluents, preservatives, solubilizers, emulsifiers, adjuvants, excipients and carriers.

28. (New) A compound having the formula (I):



(I)

or a stereoisomer or a pharmaceutically acceptable salt thereof, wherein:

A is C₁₋₆ alkylene; R, R₁ and R₂ are independently hydrogen, halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano, cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, acyloxy, azido, alkoxy, carboxy, carbonylamido, S-alkyl or alkylthiol, X is >C₁₋₆ alkylene, >C=O or >C=S or a single bond; and Y is halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano, cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, azido, carboxy, carbonylamido, or styryl, wherein where Y is a ring it is ring-substituted by up to four substituents independently selected from among halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano, cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, acyloxy, azido, alkoxy, S-alkyl, alkylthiol,

or -COQ, where Q is hydroxy, C₁₋₆ alkoxy, amino, mono- C₁₋₆ alkylamino, di- C₁₋₆ alkylamino, hydroxylamino, C₁₋₄ alkoxyamino or aryl-C₁₋₄-alkoxyamino; but excluding (a) the compounds where simultaneously X is >C=O, Y is methyl, A is CH₂CH₂, R is 5-methoxy, R₁ is H or formyl and R₂ is H, (b) the compounds where the moiety -A(R₂)-NH-X-Y is -CH₂CH(COQ)-NH₂ or -CH(haloalkyl)-CH(COQ)-NH₂, and (c) the compounds where simultaneously X is a single bond, Y is arylalkyl, A is CH₂CH₂CH₂, both R₁ and R₂ are H and R is 4-halo where the moiety -CO-A(R₂)-NH-X-Y is deemed to be in the 1-position of the depicted benzene ring.

29. (New) A compound according to claim 28, or a stereoisomer or pharmaceutically acceptable salt thereof, where in formula (I), R₂ is hydrogen and at least one of the following conditions applies, namely:

R is 5-methoxy; or

A is CH₂CH₂ or

R₁ is hydrogen; or

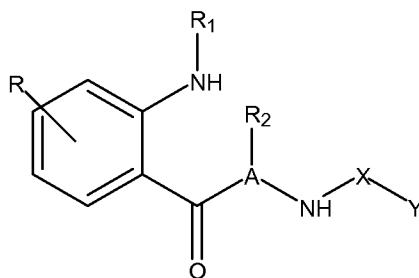
X is a single bond and Y is a 2,4-dinitrophenyl group.

30. (New) A pharmaceutical formulation containing a therapeutically effective amount of at least one compound as defined in claim 28 in association with at least one pharmaceutically acceptable ingredient selected from diluents, preservatives, solubilizers, emulsifiers, adjuvants, excipients and carriers.

31. (New) A pharmaceutical formulation according to claim 30, which is further characterized by at least one of the following features:

- (i) it is adapted for oral, rectal, parenteral, transbuccal, intrapulmonary or transdermal administration;
- (ii) it is in unit dosage form, each unit dosage comprising an amount of said at least one compound which lies within the range of 0.0025-1000 mg;
- (iii) it is a controlled release formulation, wherein said at least one compound is released at a predetermined controlled rate;
- (iv) it comprises additionally at least one known therapeutically active ingredient selected from neuroleptics, thymoleptics, anxiolitics, tranquilizers, analgesics, and anti-Parkinson's drugs.

32. (New) A compound having the formula (I):



(I)

or a stereoisomer or a pharmaceutically acceptable salt thereof, wherein:

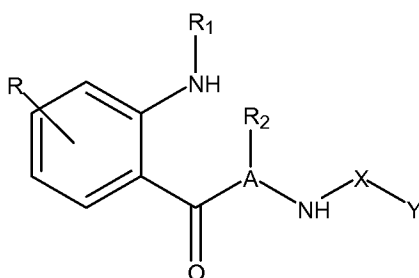
A is C₁₋₆ alkylene; R, R₁ and R₂ are independently hydrogen, halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano, cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, acyloxy, azido, alkoxy, carboxy, carbonylamido, S-alkyl or alkylthiol, X is >C₁₋₆ alkylene, >C=O or >C=S; and Y is halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano, cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, azido, carboxy, carbonylamido, or styryl, wherein where Y is a ring it can be ring-substituted by up to four substituents independently selected from among halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano, cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, acyloxy, azido, alkoxy, S-alkyl, alkylthiol, or -COQ, where Q is hydroxy, C₁₋₆ alkoxy, amino, mono- C₁₋₆ alkylamino, di- C₁₋₆ alkylamino, hydroxylamino, C₁₋₄ alkoxyamino or aryl-C₁₋₄-alkoxyamino; but excluding (a) the compounds where simultaneously X is >C=O, Y is methyl, A is CH₂CH₂, R is 5-methoxy, R₁ is H or formyl and R₂ is H, (b) the compounds where the moiety -A(R₂)-NH-X-Y is -CH₂CH(COQ)-NH₂ or -CH(haloalkyl)-CH(COQ)-NH₂, and (c) the compounds where simultaneously X is a single bond, Y is arylalkyl, A is CH₂CH₂CH₂, both R₁ and R₂ are H and R is 4-halo where the moiety -CO-A(R₂)-NH-X-Y is deemed to be in the 1-position of the depicted benzene ring.

33. (New) A pharmaceutical formulation containing a therapeutically effective amount of at least one compound as defined in claim 32 in association with at least one pharmaceutically acceptable ingredient selected from diluents, preservatives, solubilizers, emulsifiers, adjuvants, excipients and carriers.

34. (New) A pharmaceutical formulation according to claim 33, which is further characterized by at least one of the following features:

- (i) it is adapted for oral, rectal, parenteral, transbuccal, intrapulmonary or transdermal administration;
- (ii) it is in unit dosage form, each unit dosage comprising an amount of said at least one compound which lies within the range of 0.0025-1000 mg;
- (iii) it is a controlled release formulation, wherein said at least one compound is released at a predetermined controlled rate;
- (iv) it comprises additionally at least one known therapeutically active ingredient selected from neuroleptics, thymoleptics, anxiolitics, tranquilizers, analgesics, and anti-Parkinson's drugs.

35. (New) A pharmaceutical formulation containing a therapeutically effective amount of at least one compound having the formula (I):



(I)

or a stereoisomer or a pharmaceutically acceptable salt thereof, wherein:

A is C₁₋₆ alkylene; R, R₁ and R₂ are independently hydrogen, halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano, cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, acyloxy, azido, alkoxy, carboxy, carbonylamido, S-alkyl or alkylthiol, X is >C₁₋₆ alkylene, >C=O or >C=S or a single bond; and Y is halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano, cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, azido, carboxy, carbonylamido, or styryl, wherein where Y is a ring it may be ring-substituted by up to four substituents independently selected from among hydrogen, halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro,

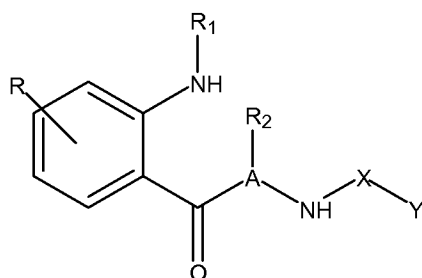
amino, cyano, cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, acyloxy, azido, alkoxy, S-alkyl, alkylthiol, or -COQ, where Q is hydroxy, C₁₋₆ alkoxy, amino, mono- C₁₋₆ alkylamino, di- C₁₋₆ alkylamino, hydroxylamino, C₁₋₄ alkoxyamino or aryl-C₁₋₄-alkoxyamino; but excluding (a) the compounds where simultaneously X is >C=O, Y is methyl, A is CH₂CH₂, R is 5-methoxy, R₁ is H or formyl and R₂ is H, (b) the compounds where the moiety -A(R₂)-NH-X-Y is -CH₂CH(COQ)-NH₂ or -CH(haloalkyl)-CH(COQ)-NH₂, and (c) the compounds where simultaneously X is a single bond, Y is arylalkyl, A is CH₂CH₂CH₂, both R₁ and R₂ are H and R is 4-halo where the moiety -CO-A(R₂)-NH-X-Y is deemed to be in the 1-position of the depicted benzene ring; in association with at least one pharmaceutically acceptable ingredient selected from diluents, preservatives, solubilizers, emulsifiers, adjuvants, excipients and carriers.

36. (New) A pharmaceutical formulation according to claim 35, which is further characterized by at least one of the following features:

- (i) it is adapted for oral, rectal, parenteral, transbuccal, intrapulmonary or transdermal administration;
- (ii) it is in unit dosage form, each unit dosage comprising an amount of said at least one compound which lies within the range of 0.0025-1000 mg;
- (iii) it is a controlled release formulation, wherein said at least one compound is released at a predetermined controlled rate;

(iv) it comprises additionally at least one known therapeutically active ingredient selected from neuroleptics, thymoleptics, anxiolytics, tranquilizers, analgesics, and anti-Parkinson's drugs.

37. (New) A pharmaceutical formulation containing a therapeutically effective amount of at least one compound having the formula (I):



(I)

or a stereoisomer or a pharmaceutically acceptable salt thereof, wherein:

A is C₁₋₆ alkylene;

R and R₁, independently, are hydrogen, halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano, cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, acyloxy, azido, alkoxy, carboxy, carbonylamido, S-alkyl or alkylthiol;

R₂ is hydrogen, halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano,

cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, acyloxy, azido, alkoxy, carbonylamido, S-alkyl or alkylthiol;

X is $>C_{1-6}$ alkylene, $>C=O$ or $>C=S$ or a single bond; and

Y is halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano, cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, acyloxy, azido, alkoxy, carboxy, carbonylamido, or styryl;

wherein where Y is a ring it can be ring-substituted by up to four substituents independently selected from among hydrogen, halo, haloalkyl, aryl, a heterocyclic group, a heteroaryl group, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, hydroxyalkyl, nitro, amino, cyano, cyanamido, guanidine, amidino, acylamido, hydroxy, thiol, acyloxy, azido, alkoxy, S-alkyl, alkylthiol, or $-COQ$, where Q is hydroxy, C_{1-6} alkoxy, amino, mono- C_{1-6} alkylamino, di- C_{1-6} alkylamino, hydroxylamino, C_{1-4} alkoxyamino or aryl- C_{1-4} -alkoxyamino; but excluding (a) the compounds where simultaneously X is $>C=O$, Y is methyl, A is CH_2CH_2 , R is 5-methoxy, R_1 is H or formyl and R_2 is H, (b) the compounds where the moiety $-A(R_2)-NH-X-Y$ is $-CH_2CH(COQ)-NH_2$ or $-CH(haloalkyl)-CH(COQ)-NH_2$, and (c) the compounds where simultaneously X is a single bond, Y is arylalkyl, A is $CH_2CH_2CH_2$, both R_1 and R_2 are H and R is 4-halo where the moiety $-CO-A(R_2)-NH-X-Y$ is deemed to be in the 1-position of the depicted benzene ring;

in association with at least one pharmaceutically acceptable ingredient selected from diluents, preservatives, solubilizers, emulsifiers, adjuvants, excipients and carriers.